

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
29 November 2001 (29.11.2001)

PCT

(10) International Publication Number
WO 01/90101 A1

(51) International Patent Classification⁷: **C07D 401/06**,
211/16, 401/10, 409/06, 413/10, 405/06, 513/04, 413/14,
495/04, 409/14, 401/12, 487/04, 417/06, 471/04, 405/14

(21) International Application Number: PCT/US01/13811

(22) International Filing Date: 27 April 2001 (27.04.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0012362.0 22 May 2000 (22.05.2000) GB
09/843,126 26 April 2001 (26.04.2001) US

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(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,
DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian
patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

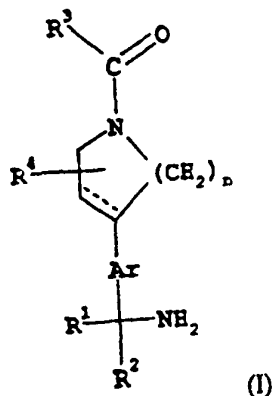
Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **ARYLMETHYLAMINE DERIVATIVES FOR USE AS TRYPTASE INHIBITORS**

(57) Abstract: Provided herein are compounds of formula (I) wherein R¹⁻⁴, n and Ar are as defined in claim 1, and their pharmaceutical compositions. These compounds are tryptase inhibitors and may be used in the treatment of e.g. asthma and inflammatory diseases.



WO 01/90101 A1

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/13811

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D401/06 C07D211/16 C07D401/10 C07D409/06 C07D413/10
C07D405/06 C07D513/04 C07D413/14 C07D495/04 C07D409/14
C07D401/12 C07D487/04 C07D417/06 C07D471/04 C07D405/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	BURGESS L E: "MAST CELL TRYPTASE AS A TARGET FOR DRUG DESIGN" DRUG NEWS AND PERSPECTIVES, vol. 13, no. 3, 2000, pages 147-157, XP000986039 ISSN: 0214-0934 * Compounds 1-20 *	1
A	DE 44 07 139 A (THOMAE GMBH DR K) 7 September 1995 (1995-09-07) * Formula I *	1

☐ Further documents are listed in the continuation of box C.

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* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
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- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
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- *G* document member of the same patent family

Date of the actual completion of the international search

11 July 2001

Date of mailing of the international search report

26/07/2001

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INTERNATIONAL SEARCH REPORT

In **Patent Application No**
PCT/US 01/13811

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D405/10 A61K31/451 A61P29/00

According to International Patent Classification (IPC) or to both national classification and IPC

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- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

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FURTHER INFORMATION CONTINUED FROM PCT/SA/ 210

Continuation of Box I.2

Claims Nos.: 1-49,51,53-72 (all part)

Present claims 1-49,51,53-72 relate to compounds defined by reference to a desirable characteristic or property, namely prodrugs. The claims cover all compounds having this characteristic or property, whereas the application provides support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT for only a very limited number of such compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Independent of the above reasoning, the claims also lack clarity (Article 6 PCT). An attempt is made to define the compound by reference to a result to be achieved. Again, this lack of clarity in the present case is such as to render a meaningful search over the whole of the claimed scope impossible. Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to the compounds of Formula (I), their N-oxides, pharmaceutically acceptable salts, solvates and hydrates.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inventor's National Application No

PCT/US 01/13811

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
DE 4407139 A	07-09-1995	NONE	

Form PCT/ISA/210 (patent family annex) (July 1992)